Scientific and Technical Information Center

SEARCH REQUEST FORM

Requester's Full Name:	Number: 2- 0663	xaminer #: <u>59193</u> Dat Serial Number: ults Format Preferred (circle): (************************************	1051824
o ensure an efficient and quality search, pl , itle of Invention:	ease attach a copy of the cover s	heet, claims, and abstract or fill out (the following:
nventors (please provide full names):		<u>.</u>	
Earliest Priority Date:			
earch Topic: Nease provide a detailed statement of the sea lected species or structures, keywords, synon Define any terms that may have a special mea	ivms, acronyms, and registry num	bers, and combine with the concept of	e searched. Include the utility of the invention.
For Sequence Searches Only* Please incluippropriate serial number.	de all pertinent information (pare	nt, child, divisional, or issued patent n	numbers) along with the
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earcher:	NA Sequence (#)	STN	Dialog
earcher Phone #:	AA Sequence (#)	Questel/Orbit	Lexis/Nexis
earcher Location:	Structure (#)	Westlaw	WWW/Internet
ate Searcher Picked Up:	Bibliographic Litigation		mer Score/Length Encode/Transl
earcher Prep & Review Time:	Fulltext		

P 20031202

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L9 ANSWER 1 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:523302 HCAPLUS

DOCUMENT NUMBER: 143:38434

TITLE: Use of adenosine derivatives for treating

dyslipidemia, obesity, cardiovascular risk factors, metabolic syndrome, polycystic ovary syndrome, NIDDM

US 2003-526491P

INVENTOR(S): Bountra, Charanjit; Hyafil, Francois; Kirilovsky,

Jorge Eduardo

PATENT ASSIGNEE(S): Glaxo Group Limited, UK SOURCE: PCT Int. Appl., 28 pp.

1

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: Fatent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT	NO.			KIN	D	DATE			APPL	ICAT	ION I	NO.		Dž	ATE	
					_								-			
WO 2005	0537	12		A1		2005	0616	1	WO 2	004-	EP13	659		2	0041	130
W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,
	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
	GE,	GH,	GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,
	LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NΑ,	NI,
	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw
RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
	AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
	EE,	ES,	FI,	FR,	GB,	GR,	HU,	IE,	IS,	ΙT,	LU,	MC,	NL,	PL,	PT,	RO,
	SE, SI, SE		SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,
	NE, SN, TD			ТG												

PRIORITY APPLN. INFO.: OTHER SOURCE(S): MARPAT 143:38434

GΙ

AB Use of adenosine derivs. of formula I (e.g., (2S,3S,4R,5R)-2-(5-tert-butyl-[1,3,4]-oxadiazol-2-yl)-5-[6-(4-chloro-2-fluorophenylamino)purin-9-yl]tetrahydrofuran-3,4-diol) is claimed in the treatment of dyslipidemia, obesity, cardiovascular risk factors, metabolic syndrome, polycystic ovary syndrome and NIDDM.

Absolute stereochemistry.

RN 253124-46-8 HCAPLUS
CN 3,4-Furandiol, 2-[6-[(4-chloro-2-fluorophenyl)amino]-9H-purin-9-yl]-5-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]tetrahydro-, (2R,3R,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN ACCESSION NUMBER: 2004:534219 HCAPLUS

DOCUMENT NUMBER:

141:94304

Heterocyclic-substituted adenosine derivative in polymorph TITLE:

III form for use in therapy Freer, Richard; Saklatvala, Paula; Shipton, Mark Ralph INVENTOR(S):

Glaxo Group Limited, UK PATENT ASSIGNEE(S): PCT Int. Appl., 15 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

	PAT	ENT	NO.			KIN)	DATE				ICAT:				Di	ATE			
	WO	2004	0550	34		A1		2004	0701							2	0031	216		
		W:						ΑU,												
			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	GE,		
								IL,												
								MA,												
								RO,									ТJ,	TM,		
				-	-	-	-	UG,												
		RW:						MW,												
								ТJ,												
								HU,											m.c	
								CI,											16	
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AB	The	pre	sent	inv	enti	on re	elat	es t	o a l	nete:	rocy	clic	sub	stit	uted	ade	nosı	ne		
	der	rivat	ive,	i.e	. (2	s,3s	4R,	5R) -:	2-(5	-ter	t-bu	tyl-	[1, 3]	, 4] -	oxad:	iazo	1-2-	λT) –	5-[6-	(4-
	ch]	loro-	2-fl	uoro	phen	ylam:	ino)	-pur	in-9	-yl]·	-tet:	rahy	drof	uran	-3,4·	-dio	l in			
	po]	ymor	phic	for	m II	I, pl	narm	aceu	tica:	l fo	rmul	atio	ns t	here	of a	nd t	heir	use	in	
	the	rapy	for	isc	hemi	c hea	art	dise	ase,	per	iphe:	ral	vasc	ular	dis	ease	, st	roke	,	
		in, m																		
ΙT	-	3124-	_																	
	RL:	PAC	(Ph	arma	colo	gica:	l ac	tivi	ty);	PEP	(Ph	ysic.	al,	engi:	neer	ing	or c	hemi	cal	
	pro	cess); P	YP (Phys	ical	pro	cess); S	PN (Synt	heti	c pr	epar	atio	n); ˈ	THU			
	(T)	nerap	euti	c us	e);	BIOL	Bi	olog.	ical	stu	dy);	PRE	P (P	repa	rati	on);	PRO	С		

(Process); USES (Uses)

(heterocyclic-substituted adenosine derivative in polymorph III form for use in therapy)

253124-46-8 HCAPLUS RN

3, 4-Furandiol, 2-[6-[(4-chloro-2-fluorophenyl)amino]-9H-purin-9-yl]-5-[5-[5-1]-5-[5CN (1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]tetrahydro-, (2R,3R,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:534218 HCAPLUS

study); PROC (Process); USES (Uses)

DOCUMENT NUMBER: 141:94303

TITLE: Heterocyclyl substituted adenosine derivative in

polymorph IV form

INVENTOR(S): Varlashkin, Peter Gregory PATENT ASSIGNEE(S): Glaxo Group Limited, UK SOURCE: PCT Int. Appl., 11 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PAT	ENT I	NO.			KIN	D	DATE			APPL:	ICAT	ION I	NO.		D2	ATE		
	WO	2004	0550	33		A1	_	2004	0701	,	WO 2	003-	EP145	516		2	0031	216	
		W:						ΑU,											
								DK,											
								IL,											
								MA,											
								RO,									ТJ,	TM,	
								UG,											
		RW:						MW,											
								ТJ,											
								HU,											
			TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,										TG
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		erapy												ılar	dis	ease	, st	roke	,
	-	in, m	_	ine,	CNS	dis	orde	r, a	nd si	leep	apn	ea,	etc.						
IT		3124-																	
	RL:	PAC	(Ph	arma	colo	gica	l ac	tivi	ty);	PEP	(Ph	ysic	al, e	engi	neer	ing	or c	nemi	cal
	pro	cess); P	YP (1	Phys:	ical	pro	cess); T	HU (Ther	apeu	tic 1	use)	; BI	OL (Biol	ogic	al

(heterocyclic substituted adenosine derivative in polymorph IV form for use

in therapy)

RN' 253124-46-8 HCAPLUS

CN 3,4-Furandiol, 2-[6-[(4-chloro-2-fluorophenyl)amino]-9H-purin-9-yl]-5-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]tetrahydro-, (2R,3R,4S,5S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:534217 HCAPLUS

DOCUMENT NUMBER: 141:94302

TITLE: Adenosine derivative in polymorph V form

INVENTOR(S): Freer, Richard; Roberts, John Charles; Shipton, Mark

Ralph

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 15 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

	PAT	ENT	NO.			KIN	D	DATE		i	APPL	ICAT:	ION I	NO.		D	ATE		
	WO	2004	0550	32		A1		2004	0701	1	WO 2	003-1	EP14	508		2	0031	216	
		W:	AE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	GE,	
			GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	
			LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NI,	NO,	NZ,	
			OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	ТJ,	TM,	
			TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw			
		RW:	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	ΤZ,	UG,	ZM,	ZW,	AM,	ΑZ,	
			BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM,	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	
			ES,	FI,	FR,	GB,	GR,	ΗU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	
			TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
PRIOF	RITY	APP	LN.	INFO	. :					1	US 2	002-	4344	64P		P 2	0021	218	
AB	The	pre	sent	inve	enti	on re	elat	es t	o he	tero	cycl	yl s	ubst:	itut	ed a	deno	sine		
	der chl		ive, 2-fl:	i.e uorop	. (2) phen	S,3S ylam:	,4R, ino)	5R) - -pur	2-(5 in-9	-ter	t-bu -tet:	tyl- rahy	[1,3 drof	,4]-duran	oxad.	iazo. -dio	l-2-; l in		5-[6-(4- n

therapy for ischemic heart disease, peripheral vascular disease, stroke, pain, migraine, CNS disorder, and sleep apnea, etc.

253124-46-8P ΙT

RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(heterocyclyl substituted adenosine derivative in polymorph V form for use in therapy)

253124-46-8 HCAPLUS RN

3,4-Furandiol, 2-[6-[(4-chloro-2-fluorophenyl)amino]-9H-purin-9-yl]-5-[5-CN (1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]tetrahydro-, (2R,3R,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS 3 REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2005 ACS on STN ANSWER 5 OF 18

ACCESSION NUMBER:

2003:1006999 HCAPLUS

DOCUMENT NUMBER:

140:28026

TITLE:

Process for the preparation and crystallization of

polymorph heterocyclyl substituted adenosine

derivative

INVENTOR(S):

Shipton, Mark Ralph; Smith, Neil Michael; Whitehead,

Andrew Jonathan; Wood-Kaczmar, Marian Wladyslaw

PATENT ASSIGNEE(S):

Glaxo Group Limited, UK

SOURCE:

PCT Int. Appl., 19 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

1

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PAT	PATENT NO.					D	DATE			APPL:	ICAT:	ION I	NO.		D	ATE	
	- -					-											
WO	O 2003106475				A2		2003	1224	1	WO 2	003-	EP64	12		21	0030	516
WO	2003	1064	75		A3		2004	0304									
WO		1064			C1		2005										
	W:	AE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	ΒA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM.	HR.	HU.	ID.	IL.	IN.	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,

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LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
             PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR,
             TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
             KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
             FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     EP 1513858
                                20050316
                                            EP 2003-740271
                                                                    20030616
                          A2
            AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
                          Т2
                                20051110
     JP 2005533792
                                            JP 2004-513306
                                                                    20030616
     US 2005222178
                          Α1
                                20051006
                                             US 2004-518246
                                                                    20041216
PRIORITY APPLN. INFO.:
                                             US 2002-388765P
                                                                 Р
                                                                    20020617
                                            WO 2003-EP6412
                                                                 W
                                                                    20030616
```

OTHER SOURCE(S): CASREACT 140:28026

The present invention relates to an improved process for the preparation of polymorph heterocyclyl substituted adenosine derivs. More particularly the invention is concerned with preparation of particular phys. forms of (2S, 3S, 4R, 5R) -2-(5-tert-butyl-[1, 3, 4]oxadiazol-2-yl)-5-[6-(4-chloro-2-fluoro-phenylamino)-9H-purin-9-yl]-tetrahydro-furan-3, 4-diol.

IT 253124-46-8P

RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (process for the preparation and purification of polymorph heterocyclyl substituted adenosine derivative)

RN 253124-46-8 HCAPLUS

CN 3,4-Furandiol, 2-[6-[(4-chloro-2-fluorophenyl)amino]-9H-purin-9-yl]-5-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]tetrahydro-, (2R,3R,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 6 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:977835 HCAPLUS

DOCUMENT NUMBER: 138:44673

TITLE: Adenosine derivative in Polymorph II form

INVENTOR(S): King, Paula

PATENT ASSIGNEE(S): Glaxo Group Limited, UK SOURCE: PCT Int. Appl., 12 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

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KIND
                               DATE
                                          APPLICATION NO.
    PATENT NO.
    _____
                        ____
                               -----
                                        WO 2002-GB2841
                                                                 20020619
    WO 2002102822
                        A1
                              20021227
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
            LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
            PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
            UA, UG, US, UZ, VN, YU, ZA, ZM, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
            CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
            BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                        A1
                               20040317
                                         EP 2002-735635
                                                                 20020619
    EP 1397378
           AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
                                           JP 2003-506294
                         Т2
                               20050428
                                                                 20020619
    JP 2005511488
    US 2004180908
                         A1
                               20040916
                                           US 2003-481612
                                                                 20031219
PRIORITY APPLN. INFO.:
                                           GB 2001-15178
                                                              A 20010620
                                           WO 2002-GB2841
                                                              W 20020619
```

OTHER SOURCE(S):

MARPAT 138:44673

AB Preparation of a polymorphic form (Polymorph II) of adenosine derivative (2S, 3S, 4R, 5R)-2-(5-tert-butyl-[1,3,4]-oxadiazol-2-yl)-5-[6-(4-chloro-2-fluorophenyl-amino)-9H-purin-9-yl]-tetrahydrofuran-3,4-diol (I) by crystallization

from Me iso-Bu ketone by heating is described. A pharmaceutical formulation containing I polymorph is useful in decreasing plasma free fatty acid concentration, reducing heart rate, or treating ischemic heart disease, peripheral vascular disease, stroke, pain, CNS disorder, or sleep apnea. Polymorph I was characterized by X-ray powder diffraction and Raman spectra.

IT 253124-46-8

RL: FMU (Formation, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); FORM (Formation, nonpreparative); USES (Uses)

(preparation of polymorphic form II of adenosine derivative for therapeutic uses)

RN 253124-46-8 HCAPLUS

CN 3,4-Furandiol, 2-[6-[(4-chloro-2-fluorophenyl)amino]-9H-purin-9-yl]-5-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]tetrahydro-, (2R,3R,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:977834 HCAPLUS

DOCUMENT NUMBER: 138:44672

TITLE: Adenosine derivative in Polymorph I form

INVENTOR(S): King, Paula; Sickles, Barry Riddle

PATENT ASSIGNEE(S): Glaxo Group Limited, UK SOURCE: PCT Int. Appl., 12 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

	PATEN	NO.			KIN	D	DATE				ICAT				D	ATE	
	WO 200	21028	21		A1	_	2002	1227			002-				2	0020	619
		AE,															
											EE,						
											KG,						
											MW,						
											SL,						
			ŪG,								•	•	Α.				
	R	7: GH,									TZ,	UG,	ZM,	ZW,	AT,	ΒE,	CH,
											IT,						
											GW,						
	EP 139	7379	•		A1	•	2004	0317	·	EP 2	002-	7408	88		2	0020	619
		AT,															
		IE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
	JP 200	55003	302 ·	•	т2		2005	0106		JP 2	003-	5062	93		2	0020	619
	US 200	41622	97		A1		2004	0819		US 2	003-	4812	91		2	0031	219
PR	IORITY A																
											002-						
AB	Prepa	ration	of	a po	lymo	rphi	c fo	rm (Poly	morp	h I)	of	aden	osin	e de	riva	tive

AB Preparation of a polymorphic form (Polymorph I) of adenosine derivative (2S,3S,4R,5R)-2-(5-tert-butyl-[1,3,4]-oxadiazol-2-yl)-5-[6-(4-chloro-2-fluorophenyl-amino)-9H-purin-9-yl]-tetrahydrofuran-3,4-diol (I) by crystallization

from DMF by heating is described. A pharmaceutical formulation containing I polymorph is useful in decreasing plasma free fatty acid concentration, reducing

heart rate, or treating ischemic heart disease, peripheral vascular disease, stroke, pain, CNS disorder, or sleep apnea. Polymorph I was characterized by X-ray powder diffraction and Raman spectra.

IT 253124-46-8

RL: FMU (Formation, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); FORM (Formation, nonpreparative); USES (Uses)

(preparation of polymorphic form I of adenosine derivative for therapeutic

uses)

RN 253124-46-8 HCAPLUS

CN 3,4-Furandiol, 2-[6-[(4-chloro-2-fluorophenyl)amino]-9H-purin-9-yl]-5-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]tetrahydro-, (2R,3R,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2002:977670 HCAPLUS

DOCUMENT NUMBER:

138:49946

TITLE:

Use of adenosine Al receptor agonists for the

treatment of nociceptive pain

INVENTOR(S):

Bountra, Charanjit; Clayton, Nicholas Maughan;

Collins, Susanne Denise Glaxo Group Limited, UK

PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 22 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

1

FAMILY ACC. NUM. COUNT:

PA'	TENT	NO.			KIN	D	DATE		i	APPL	ICAT	ION	NO.		D	ATE	
WO.	2002	1023	 92		A1	-	2002	1227		WO 2	002-	GB28	 17		2	0020	619
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		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	NZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,
		117	HC	110	117	VN	VII	7.7	7.M	7.W.	AM.	Α2	RY.	KG.	KZ.	MĎ.	RU.

TJ, TM

'RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG GB 2001-15182 PRIORITY APPLN. INFO.:

MARPAT 138:49946 OTHER SOURCE(S):

The invention discloses the use of adenosine derivs. in the treatment of nociceptive pain. The adenosine derivs of the invention include e.g. (2S, 3S, 4R, 5R) -2-(5-tert-butyl-[1, 3, 4]-oxadiazol-2-yl)-5-[6-(4-chloro-2fluorophenylamino)purin-9-yl]tetrahydrofuran-3,4-diol.

253124-46-8 IΤ

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (adenosine A1 receptor agonists for treatment of nociceptive pain)

253124-46-8 HCAPLUS RN 3,4-Furandiol, 2-[6-[(4-chloro-2-fluorophenyl)amino]-9H-purin-9-yl]-5-[5-CN (1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]tetrahydro-, (2R,3R,4S,5S)-(9CI)(CA INDEX NAME)

Absolute stereochemistry.

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS REFERENCE COUNT: 9 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2005 ACS on STN ANSWER 9 OF 18

ACCESSION NUMBER:

2002:736265 HCAPLUS

DOCUMENT NUMBER:

137:232865

TITLE:

Process for preparing N6-substituted aminopurine ribofuranose nucleosides via condensation reaction of

halopurine with chlorofluoroaniline

INVENTOR(S):

Berry, Malcolm; Roberts, John C.; Xie, Shiping

PATENT ASSIGNEE(S): SOURCE:

Glaxo Group Limited, UK PCT Int. Appl., 27 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
				20020210
WO 2002074781	A1 AM. AT	20020926 . AU. AZ. BA	WO 2002-GB1344 . BB, BG, BR, BY, BZ,	20020319 CA, CH, CN,

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CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
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             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
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             TJ, TM
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             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
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                                 20031217
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     EP 1370569
                          A1
     EP 1370569
                          В1
                                20050831
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
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                                                                     20020319
                          T2
     JP 2004534003
                                             US 2003-471682
     US 2005176949
                          Α1
                                 20050811
                                                                     20020319
                                 20050915
                                             AT 2002-718299
                                                                     20020319
     AT 303396
                          E.
                                             GB 2001-6867
                                                                    20010320
                                                                 Α
PRIORITY APPLN. INFO.:
                                             WO 2002-GB1344
                                                                 W
                                                                    20020319
                         CASREACT 137:232865; MARPAT 137:232865
OTHER SOURCE(S):
     An improved process for preparing N6-substituted aminopurine ribofuranose
AΒ
     nucleosides. Compds. of this type are known to be useful in the preparation of
     compds. having activity at adenosine receptors, e.g., Adenosine Al
     receptor (no data). The process comprises the step of condensation.
     reaction of 6-halopurine ribofuranose nucleoside with an amine in the
     presence of CaCO3, wherein acid is added to the reaction mixture Thus,
     (2S, 3S, 4R, 5R) -2-(5-tert-butyl-[1,3,4]oxadiazol-2-yl) -5-[6-(4-chloro-2-
     fluorophenylamino)purin-9-yl]tetrahydrofuran-3,4-diol was prepared in 74%
     yield by condensation of 9-[(3aR, 4R, 6S, 6aS)-6-(5-tert-butyl-1, 3, 4-tert)]
     oxadiazol-2-yl)-2,2-dimethyltetrahydrofuro(3,4-d[1,3]dioxol-4-yl)]-6-
```

IT 253124-46-8P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

chloro-9H-purine with 4-chloro-2-fluoroaniline.

(process for preparing N6-substituted aminopurine ribofuranose nucleosides via condensation reaction of halopurine with chlorofluoroaniline)

RN 253124-46-8 HCAPLUS

CN 3,4-Furandiol, 2-[6-[(4-chloro-2-fluorophenyl)amino]-9H-purin-9-yl]-5-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]tetrahydro-, (2R,3R,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT ANSWER 10 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN 1.9 ACCESSION NUMBER: 2002:695793 HCAPLUS 137:210974 DOCUMENT NUMBER: Treatment of emesis with adenosine Al receptor TITLE: agonists Bountra, Charanjit; Dale, Timothy James; Gardner, INVENTOR(S): Christopher John; Reeves, Julian James; Sheehan, Michael John Glaxo Group Limited, UK PATENT ASSIGNEE(S): PCT Int. Appl., 26 pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent English LANGUAGE: FAMILY ACC. NUM. COUNT: 7 PATENT INFORMATION: PATENT NO KTND DATE APPLICATION NO. DATE

	PA	IUNI	NO.			1/ 1/1/	,	DAIL			WLTI	JICAI	-			_		
	WO	2002	0699	82		A1	_	2002	0912		WO 2	2002-				2	0020	306
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			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
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		RW:										TZ,						
												IT,						
			BF,	ВJ,	CF,	CG,						, GW,						
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		R:										, IT,	LI,	LU,	NL,	SE,	MC,	PT,
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		2004				Т2						2002-				_	0020	
	US	2004	1670	92		A1		2004	0826			2004-				_	0040	
]	RIORITY APPLN. INFO.:				.:							2001-				-	0010	
										WO 2	2002-	GB10	25		W 2	0020	306	

MARPAT 137:210974 OTHER SOURCE(S):

The present invention relates to the use of adenosine Al agonists having an agonist action at adenosine Al receptors in the treatment of emesis.

ΙT 253124-46-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(treatment of emesis with adenosine Al receptor agonists)

253124-46-8 HCAPLUS RN

3,4-Furandiol, 2-[6-[(4-chloro-2-fluorophenyl)amino]-9H-purin-9-yl]-5-[5-CN (1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]tetrahydro-, (2R,3R,4S,5S)-(9CI)(CA INDEX NAME)

Absolute stereochemistry.

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS 8 REFERENCE COUNT: RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

HCAPLUS COPYRIGHT 2005 ACS on STN ANSWER 11 OF 18 L9

ACCESSION NUMBER: 2001:472502 HCAPLUS

DOCUMENT NUMBER: 135:66249

Formulations of adenosine Al receptor agonists as TITLE:

analgesics

Bountra, Charanjit; Clayton, Nicholas Maughan; Naylor, INVENTOR(S):

Alan

Glaxo Group Limited, UK PATENT ASSIGNEE(S): PCT Int. Appl., 29 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAS	rent	NO.			KINI)	DATE			APPL	ICAT:	ION I	NO.		_	ATE	
	2001						2001		,	WO 2	000-	GB48	85			0001	
WO	2001				A3		2002						n.,	20	C T		CNT
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		HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,
					-		MK,							_			
							SL,										
							BY,										•
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
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EP	1248						2002									0001	219
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JP	2003	5180	68		Т2		2003	0603		JP 2	001-	5466	54		2	0001	219
	2003														2	0020	618
PRIORIT					3						999-				A 1	9991	220
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A method of treating conditions associated with pain and alleviating the AB symptoms associated with it comprises administering to a mammal, an adenosine Al agonist or a physiol. acceptable salt or a solvate and an opioid. The present invention also provides pharmaceutical formulations and patient

packs comprising the combinations. 5'-Deoxy-5'-fluoro-N-(tetrahydropyran-4-yl)adenosine and administered orally to rats and morphine was administered s.c. to the same rats. The compds. inhibited carrageenan-induced edema and allodynia.

IT 253124-46-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(formulations of adenosine Al receptor agonists as analgesics)

RN 253124-46-8 HCAPLUS

CN 3,4-Furandiol, 2-[6-[(4-chloro-2-fluorophenyl)amino]-9H-purin-9-yl]-5-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]tetrahydro-, (2R,3R,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 12 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:472501 HCAPLUS

DOCUMENT NUMBER: 135:66248

TITLE: Formulations of adenosine Al receptor agonists

INVENTOR(S): Bountra, Charanjit; Clayton, Nicholas Maughan; Naylor,

Alan

PATENT ASSIGNEE(S): Glaxo Group Limited, UK SOURCE: PCT Int. Appl., 25 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

]	PAT	ENT 1	NO.			KIN	D 1	DATE		i	APPL	ICAT	ION	NO.		D	ATE	
							-									_		
1	WO	2001	0457	14		A2		2001	0628	1	WO 2	000-	GB48	92		2	00012	219
1	WO	20010	0457	14		А3		2002	0228									
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			HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	LS,	LT,
																	RO,	
			SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VN,
			YU,	ZA,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM				
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			DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	ΒF,

BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG EP 2000-985633 20001219 20020918 EP 1239881 A2 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR 20001219 Т2 20030603 JP 2001-546653 JP 2003518067 20020618 US 2003004129 A1 20030102 US 2002-168242 19991220 GB 1999-30083 Α PRIORITY APPLN. INFO.: WO 2000-GB4892 W 20001219

Amethod of treating conditions associated with pain and alleviating the symptoms associated comprises administering to a mammal an adenosine Al agonist or a physiol. acceptable salt or solvate and gabapentin or pregabalin. The present invention also provides pharmaceutical formulations and patient packs comprising the combinations. Thus, (2S,3S,4R,5R)-2-(5-tert-butyl-[1,3,4]oxadiazol-2-yl)-5-[6-(4-chloro-2-fluorophenylamino)purin-9-yl]tetrahydrofuran-3,4-diol was prepared in a series of steps by the reaction of (3aS,4S,6R,6aR)-6-(6-chloropurin-9-yl)-2,2-dimethyltetrahydrofuro[3,4-d][1,3]dioxole-4-carboxylic acid with 2,2-dimethylpropionic acid hydrazide followed by the cyclization of the resulting compound, and subsequent treatment with 4-chloro-2-fluoroaniline and deprotection.

IT 253124-46-8P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(formulations of adenosine Al receptor agonists)

RN 253124-46-8 HCAPLUS

CN 3,4-Furandiol, 2-[6-[(4-chloro-2-fluorophenyl)amino]-9H-purin-9-yl]-5-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]tetrahydro-, (2R,3R,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 13 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:472474 HCAPLUS

DOCUMENT NUMBER: 135:81974

TITLE: Formulations of adenosine Al agonists

INVENTOR(S): Bountra, Charanjit; Clayton, Nicholas Maughan; Naylor,

Alan

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

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KIND
                                   DATE
                                               APPLICATION NO.
                                                                           DATE
     PATENT NO.
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                            A2
                                                                           20001219
                                   20010628 WO 2000-GB4970
     WO 2001045686
                           A3
                                   20020328
     WO 2001045686
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                                    20010703 AU 2001-22083
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                                              EP 2000-985682
                                                                           20001219
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     EP 1239883
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                                                 JP 2001-546425
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                                    20030603
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     US 2002198170
                                                                       A 19991220
                                                 GB 1999-30082
PRIORITY APPLN. INFO.:
                                                 WO 2000-GB4970
                                                                       W 20001219
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Amethod of treating conditions associated with pain and alleviating the symptoms associated with it comprises administering to a mammal an adenosine Al agonist or a salt or solvate and an EP1 antagonist. The present invention also provides pharmaceutical formulations and patient packs comprising the combinations. Thus, (2S,3S,4R,5R)-2-(5-tert-butyl-[1,3,4]oxadiazol-2-yl)-5-[6-(4-chloro-2-fluorophenylamino)purin-9-yl]tetrahydrofuran-3,4-diol was prepared in a series of steps by the reaction of (3aS,4S,6R,6aR)-6-(6-chloropurin-9-yl)-2,2-dimethyltetrahydrofuro[3,4-d][1,3]dioxole-4-carboxylic acid with 2,2-dimethylpropionic acid hydrazide followed by the cyclization of the resulting compound, and subsequent treatment with 4-chloro-2-fluoroaniline and deprotection.

IT 253124-46-8P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(formulations of adenosine Al agonists)

RN 253124-46-8 HCAPLUS

CN 3,4-Furandiol, 2-[6-[(4-chloro-2-fluorophenyl)amino]-9H-purin-9-yl]-5-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]tetrahydro-, (2R,3R,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 14 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2001:472473 HCAPLUS

DOCUMENT NUMBER:

135:81973

TITLE:

Formulations of adenosine Al agonists

INVENTOR(S):

Bountra, Charanjit; Clayton, Nicholas Maughan; Naylor,

Alan

PATENT ASSIGNEE(S):

Glaxo Group Limited, UK PCT Int. Appl., 32 pp.

SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	CENT 1	NO.			KINI)	DATE APPLICATION NO.							_	DATE 			
	0 2001045685										000-		20001219					
WO	2001045685															~	011	
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											RU,							
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	2776																	
	2003										002-				20020618			
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IORITY APPLN. INFO.:											000-							

AB A method of treating conditions associated with pain and alleviating the symptoms associated with it comprises administering to a mammal an adenosine A1 agonist or a salt or solvate and a 5HT3 antagonist. The present invention also provides pharmaceutical formulations and patient packs comprising the combinations. Thus, (2S, 3S, 4R, 5R)-2-(5-tert-butyl-

[1,3,4]oxadiazol-2-yl)-5-[6-(4-chloro-2-fluorophenylamino)purin-9-yl]tetrahydrofuran-3,4-diol (adenosine Al agonist) (I) was prepared in a series of steps by the reaction of (3aS,4S,6R,6aR)-6-(6-chloropurin-9-yl)-2,2-dimethyltetrahydrofuro[3,4-d][1,3]dioxole-4-carboxylic acid with 2,2-dimethylpropionic acid hydrazide followed by the cyclization of the resulting compound, and subsequent treatment with 4-chloro-2-fluoroaniline and deprotection. Alosetron and I inhibited carrageenan-induced edema and allodynia in rats.

IT 253124-46-8P

CN

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(formulations of adenosine Al agonists)

RN 253124-46-8 HCAPLUS

3,4-Furandiol, 2-[6-[(4-chloro-2-fluorophenyl)amino]-9H-purin-9-yl]-5-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]tetrahydro-, (2R,3R,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 15 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:472472 HCAPLUS

DOCUMENT NUMBER: 135:81972

TITLE: Formulations of adenosine Al agonists

INVENTOR(S): Bountra, Charanjit; Clayton, Nicholas Maughan; Naylor,

Alan

PATENT ASSIGNEE(S): Glaxo Group Limited, UK SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PAT	ENT	NO.			KIN	D	DATE			APPL	DATE						
WO	2001	0456			A2	-	2001	0628	1	WO 2		20001219					
WO 2001045684				A3		2002			BA, BB, BG, BR, BY, BZ,						CA CH CN		
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		LU.	LV.	MA.	MD.	MG.	MK,	MN,	MW,	MX,	MŻ,	NO,	NZ,	PL,	PT,	RO,	RU,

SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, MT RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG EP 2000-985631 20001219 EP 1239880 A2 20020918 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR JP 2001-546423 JP 2003518042 Т2 20030603 20001219 US 2003008842 A1 20030109 US 2002-168196 20020618 PRIORITY APPLN. INFO.: GB 1999-30079 Α 19991220 WO 2000-GB4888 W 20001219

AB A method of treating conditions associated with pain and alleviating the symptoms associated with it comprises administering to a mammal an adenosine Al agonist or a salt or solvate and a sodium channel blocker. The present invention also provides pharmaceutical formulations and patient packs comprising the combinations. Thus, (2S,3S,4R,5R)-2-(5-tert-butyl-[1,3,4]oxadiazol-2-yl)-5-[6-(4-chloro-2-fluorophenylamino)purin-9-yl]tetrahydrofuran-3,4-diol was prepared in a series of steps by the reaction of (3aS,4S,6R,6aR)-6-(6-chloropurin-9-yl)-2,2-dimethyltetrahydrofuro[3,4-d][1,3]dioxole-4-carboxylic acid with 2,2-dimethylpropionic acid hydrazide followed by the cyclization of the resulting compound, and subsequent treatment with 4-chloro-2-fluoroaniline and deprotection.

IT 253124-46-8P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (formulations of adenosine A1 agonists)

RN 253124-46-8 HCAPLUS

CN 3,4-Furandiol, 2-[6-[(4-chloro-2-fluorophenyl)amino]-9H-purin-9-yl]-5-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]tetrahydro-, (2R,3R,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 16 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:472471 HCAPLUS

DOCUMENT NUMBER: 135:81971

TITLE: Formulations of adenosine Al agonists

INVENTOR(S): Bountra, Charanjit; Clayton, Nicholas Maughan; Naylor,

Alan

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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FD	RW:	GH, DE, BJ,	GM, DK, CF,	KE, ES, CG,	LS, FI, CI,	MW, FR, CM,	MZ, GB, GA,	SD, GR, GN,	SL, IE, GW,	SZ, IT, ML,	RU, TZ, LU, MR,	UG, MC, NE,	ZW, NL, SN,	PT, TD,	SE, TG	TR,	BF,
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AT	2601 2003	5191 19 0041	04 28	·	Ε		2003 2004	0617 0315		JP 2 AT 2 US 2 GB 1	2001- 2000- 2002- 1999-	9856: 1681: 3007:	27 95 5	į	2 2 A 1	0001 0001 0020 9991 0001	219 618 220

AB A method of treating conditions associated with pain and alleviating the symptoms associated with it comprises administering to a mammal an adenosine A1 agonist or a salt or solvate and an NSAID, e.g., a COX-2 inhibitor. The present invention also provides pharmaceutical formulations and patient packs comprising the combinations. Thus, (2S,3S,4R,5R)-2-(5-tert-butyl-[1,3,4]oxadiazol-2-yl)-5-[6-(4-chloro-2-fluorophenylamino)purin-9-yl]tetrahydrofuran-3,4-diol (I) was prepared in a series of steps by the reaction of (3aS,4S,6R,6aR)-6-(6-chloropurin-9-yl)-2,2-dimethyltetrahydrofuro[3,4-d][1,3]dioxole-4-carboxylic acid with 2,2-dimethylpropionic acid hydrazide followed by the cyclization of the resulting compound, and subsequent treatment with 4-chloro-2-fluoroaniline and deprotection. I and 2-(4-ethoxy-phenyl)-3-(4-methanesulfonylphenyl)pyrazolo[1,5-b]pyridazine(COX-2 inhibitor), were administered at 1% to rats. The compds. showed inhibition of carrageenan-induced edema and allodynia.

IT 253124-46-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (formulations of adenosine Al agonists)

RN 253124-46-8 HCAPLUS

CN 3,4-Furandiol, 2-[6-[(4-chloro-2-fluorophenyl)amino]-9H-purin-9-yl]-5-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]tetrahydro-, (2R,3R,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 17 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:472470 HCAPLUS

DOCUMENT NUMBER: 135:66244

TITLE: Formulations of adenosine Al receptor agonists

INVENTOR(S): Bountra, Charanjit; Clayton, Nicholas Maughan; Naylor,

Alan

PATENT ASSIGNEE(S): Glaxo Group Limited, UK

SOURCE: PCT Int. Appl., 27 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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EP	1239	878			A2	A2 20020918 EP 2006)-985623 20001219							
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	2601																		
US	2003	0041	27		A1		2003	0102		US 2	002-	1681	93		20020618				
PRIORIT'	Y APP	LN.	INFO	.:						GB 1999-30085					A 1	19991220			
										WO 2	2000-0	GB48	78	1	W 20001219				

AB A method of treating conditions associated with pain and alleviating the symptoms associated with them comprises administering to a mammal an adenosine A1 agonist or a salt or solvate and a 5HT1 receptor agonist. The present invention also provides pharmaceutical formulations and patient packs comprising the combinations. Thus, (2S,3S,4R,5R)-2-(5-tert-

butyl-[1,3,4]oxadiazol-2-yl)-5-[6-(4-chloro-2-fluorophenylamino)purin-9-yl]tetrahydrofuran-3,4-diol was prepared in a series of steps by the reaction of (3aS,4S,6R,6aR)-6-(6-chloropurin-9-yl)-2,2-dimethyltetrahydrofuro[3,4-d][1,3]dioxole-4-carboxylic acid with 2,2-dimethylpropionic acid hydrazide followed by the cyclization of the resulting compound, and subsequent treatment with 4-chloro-2-fluoroaniline and deprotection.

IT 253124-46-8P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(formulations of adenosine Al receptor agonists)

RN 253124-46-8 HCAPLUS

CN 3,4-Furandiol, 2-[6-[(4-chloro-2-fluorophenyl)amino]-9H-purin-9-yl]-5-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]tetrahydro-, (2R,3R,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L9 ANSWER 18 OF 18 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 1999:819388 HCAPLUS

DOCUMENT NUMBER: 132:64480

TITLE: Preparation of adenosine derivatives as

antiinflammatory agents

INVENTOR(S): Bays, David Edmund; Cousins, Richard Peter Charles;

Dyke, Hazel Joan; Eldred, Colin David; Judkins, Brian David; Pass, Martin; Pennell, Andrew Michael Kenneth

PATENT ASSIGNEE(S): Glaxo Group Ltd., UK SOURCE: PCT Int. Appl., 161 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

P.	ΑT	ENT	NO.			KIN	D	DATE		APPLICATION NO.							DATE		
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PRIORITY APPLN. INFO.:
                                            EP 1999-927999
                                                                A3 19990621
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                                            WO 1999-EP4182
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                                            US 2001-736018
                                                                A1 20010306
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OTHER SOURCE(S): MARPAT 132:64480

- AB Adenosine derivs. I (X = 0, CH2; Y and Z = 0, N, CH, alkylamine; W = heteroatom; R1 = H, alkylcycloalkyl, heterocycle, fused bicyclic, substituted phenyl) which is an agonist at the adenosine A1 and A3 receptors. Thus, (2S,3S,4R,5R)-2-(5-tert-butyl-[1,3,4]oxadiazol-2-yl)-5[6-(tetrahydropyran-4-ylamino)-purin-9-yl]tetrahydrofuran-3,4-diol was prepared as adenosine A1 and A3 receptors (ECR are resp. 4.16 and 152).
- RN 253124-46-8 HCAPLUS
 CN 3,4-Furandiol, 2-[6-[(4-chloro-2-fluorophenyl)amino]-9H-purin-9-yl]-5-[5-(1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]tetrahydro-, (2R,3R,4S,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 17:08:28 ON 27 DEC 2005)

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FILE HOME

FILE HCAPLUS

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FILE COVERS 1907 - 27 Dec 2005 VOL 144 ISS 1 FILE LAST UPDATED: 26 Dec 2005 (20051226/ED)

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FILE REGISTRY

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 26 DEC 2005 HIGHEST RN 870675-00-6 DICTIONARY FILE UPDATES: 26 DEC 2005 HIGHEST RN 870675-00-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

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Structure search iteration limits have been increased. See HELP SLIMITS for details.

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http://www.cas.org/ONLINE/UG/regprops.html

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ANSWER 1 OF 1 REGISTRY COPYRIGHT 2005 ACS on STN rs

- located via

253124-46-8 REGISTRY RN

Entered STN: 19 Jan 2000 ED

3,4-Furandiol, 2-[6-[(4-chloro-2-fluorophenyl)amino]-9H-purin-9-yl]-5-[5-CN (1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]tetrahydro-, (2R,3R,4S,5S)- (9CI)(CA INDEX NAME)

STEREOSEARCH FS

C21 H21 C1 F N7 O4 MF

SR CA

CA, CAPLUS, CASREACT, PROUSDDR, TOXCENTER, USPAT2, USPATFULL STN Files: LC

Absolute stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

18 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

18 REFERENCES IN FILE CAPLUS (1907 TO DATE)

Entered STN: 19 Jan 2000 ED

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ACCESSION NUMBER:
                                                2003:1006999 HCAPLUS
DOCUMENT NUMBER:
                                                140:28026
                                                Process for the preparation and crystallization of
TITLE:
                                                 polymorph heterocyclyl substituted adenosine
                                                 derivative
                                                Shipton, Mark Ralph; Smith, Neil Michael; Whitehead, Andrew Jonathan;
INVENTOR(S):
                                                 Wood-Kaczmar, Marian Wladyslaw
                                                 Glaxo Group Limited, UK
PATENT ASSIGNEE(S):
                                                 PCT Int. Appl., 19 pp.
SOURCE:
                                                 CODEN: PIXXD2
DOCUMENT TYPE:
                                                 Patent
                                                 English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
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PATENT INFORMATION:
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PRIORITY APPLN. INFO.:
                                                                                                                              W 20030616
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OTHER SOURCE(S):
                                                 CASREACT 140:28026
          The present invention relates to an improved process for the preparation of
AB
          polymorph heterocyclyl substituted adenosine derivs. More particularly
          the invention is concerned with preparation of particular phys. forms of
          (2S, 3S, 4R, 5R) -2-(5-tert-butyl-[1,3,4] oxadiazol-2-yl) -5-[6-(4-chloro-2-
          fluoro-phenylamino)-9H-purin-9-yl]-tetrahydro-furan-3,4-diol.
TT
          253124-46-8P
          RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
                (process for the preparation and purification of polymorph heterocyclyl
                substituted adenosine derivative)
          253124-46-8 HCAPLUS
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          3,4-Furandiol, 2-[6-[(4-chloro-2-fluorophenyl)amino]-9H-purin-9-yl]-5-[5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-1]-5-[5-
CN
          (1,1-dimethylethyl)-1,3,4-oxadiazol-2-yl]tetrahydro-, (2R,3R,4S,5S)- (9CI)
```

(CA INDEX NAME)

Absolute stereochemistry.

IT 253127-02-5

RL: RCT (Reactant); RACT (Reactant or reagent) (process for the preparation and purification of polymorph heterocyclyl substituted adenosine derivative)

RN 253127-02-5 HCAPLUS

CN 9H-Purin-6-amine, N-(4-chloro-2-fluorophenyl)-9-[(3aR, 4R, 6S, 6aS)-6-[5-(1, 1-dimethylethyl)-1, 3, 4-oxadiazol-2-yl]tetrahydro-2, 2-dimethylfuro[3, 4-d]-1, 3-dioxol-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.